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TERMINAL (ENTER 1, 2, 3, OR ?):2

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                 LMEDLINE coverage updated
                 SCISEARCH enhanced with complete author names
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         JUL 02
         JUL 02
                 CHEMCATS accession numbers revised
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NEWS
         JUL 02
                 CA/CAplus enhanced with utility model patents from China
                 CAplus enhanced with French and German abstracts
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         JUL 16
         JUL 18
                 CA/CAplus patent coverage enhanced
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         JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
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NEWS 9 JUL 30
                 USGENE now available on STN
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                 CAS REGISTRY enhanced with new experimental property tags
         AUG 06
NEWS 11
                 BEILSTEIN updated with new compounds
NEWS 12
         AUG 06
                 FSTA enhanced with new thesaurus edition
         AUG 13
                 CA/CAplus enhanced with additional kind codes for granted
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                 patents
NEWS 14
         AUG 20
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
         AUG 27
                 Full-text patent databases enhanced with predefined
NEWS 15
                 patent family display formats from INPADOCDB
                 USPATOLD now available on STN
         AUG 27
NEWS 16
         AUG 28
                 CAS REGISTRY enhanced with additional experimental
NEWS 17
                 spectral property data
NEWS 18
         SEP 07
                 STN AnaVist, Version 2.0, now available with Derwent
                 World Patents Index
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                 FORIS renamed to SOFIS
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NEWS 21
                 CA/CAplus enhanced with printed CA page images from
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                 CAplus coverage extended to include traditional medicine
NEWS 22
         SEP 17
                 patents
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23
         SEP 24
NEWS 24
         OCT 02
                 CA/CAplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
NEWS EXPRESS
             19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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              Welcome Banner and News Items
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              For general information regarding STN implementation of IPC 8
```

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FILE 'HOME' ENTERED AT 07:26:50 ON 15 OCT 2007

=> file casreact
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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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FILE CONTENT: 1840 - 13 Oct 2007 VOL 147 ISS 17

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Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Uploading C:\Program Files\Stnexp\Queries\10537604.str

```
chain nodes :
 16 17 18 19 24 25
                      41 42 43 44
 ring nodes :
· 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 26 27
                                                     28
                                                             30
 34 35 36 37 38 39
                      40
 chain bonds :
 8-10 9-16 16-17 16-24 16-25 17-18 17-19 33-35 34-41 41-42 41-45
 42-44
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11
                                                    10-15
                                                          11-12
                                                                12-13 13-14
  14-15 26-27 26-31 27-28 28-29 29-30 30-31
                                             30-32 31-34 32-33
                                                                33-34
  35-40 36-37 37-38 38-39 39-40
 exact/norm bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10
                                                   9-16 16-17 16-24 16-25
 17-18 17-19 26-27 26-31 27-28
                                28-29 29-30 30-31
                                                   30-32 31-34
                                                               32-33 33-34
 33-35 34-41 41-42 41-45 42-43
                                42-44
 normalized bonds :
 10-11 10-15 11-12 12-13 13-14 14-15 35-36 35-40 36-37 37-38 38-39 39-40
 isolated ring systems :
 containing 1 : 10 :
```

## G1:C,O,N

# Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS

fragments assigned product role: containing 1 fragments assigned reactant/reagent role: containing 26

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \* Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

FULL SEARCH INITIATED 07:27:35 FILE 'CASREACT'

SCREENING COMPLETE - 34 REACTIONS TO VERIFY FROM

9 DOCUMENTS

100.0% DONE

34 VERIFIED

8 HIT RXNS

5 DOCS

SEARCH TIME: 00.00.01

L2

5 SEA SSS FUL L1 ( 8 REACTIONS)

=> d ibib abs fhit tot

ANSWER 1 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

144:331433 CASREACT

TITLE:

Synthesis of heteroaryl acetamides from reaction

mixtures of heteroaryl  $\alpha$ -hydroxyacetamides

having reduced water content

INVENTOR(S):

Jarvi, Esa T.; Miller, Douglas C.; Moser, Frank W.;

Halvachs, Robert E.

PATENT ASSIGNEE(S):

Mallinckrodt Inc., USA PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT: 1

PAT	PATENT NO.		KIND DATE				A	PPLI	CATI	ာ.	DATE						
									_								
WO	2006	0072	89	Α	1	2006	0119		W	O 20	05–บ	S198	10	2005	0603		
•	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	.BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	ΚP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	zw													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	GM,
	•	ΚE,	LS,	MW,	MZ,	ΝA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,
		ΚŻ,	MD,	RU,	ТJ,	TM											
AU	AU 2005262622		A1 20060119			AU 2005-262622 20050603						0603					
CA	CA 2571491		Α	A1 20060119			CA 2005-2571491 200506					0603					

CN 1972939 20070530 CN 2005-80020732 20050603 EP 1809627 A1 20070725 EP 2005-756522 20050603 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR US 2006-594486 20070913 20060927 US 2007213537 Α1 20070629 IN 2006-CN4715 IN 2006CN04715 Α 20061222 US 2004-581967P PRIORITY APPLN. INFO .: 20040622 WO 2005-US19810 20050603

OTHER SOURCE(S):

MARPAT 144:331433

Ι

GΙ

$$\begin{array}{c|c}
R^{10} & Z & N & X^{1} \\
R^{11} & C & N & X^{2} \\
& & R^{12} & X^{2} \\
& & & R^{1} & R^{2}
\end{array}$$

An improved process for the preparation of a heteroaryl acetamide (I) [Z = O, AΒ NR20 or CR21; X1, X2 = H, halogen, C1-4 alkoxy, C1-6 alkyl, CF3, MeSO2; R1, R2 = H, hydrocarbyl; R10 = H, halogen, C1-4 alkyl, a fused ring such as (i) a (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-NR20 or (ii) a (un) substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11); R11 = H, halogen, C1-4 alkyl, or a fused ring such as (i) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11) or (ii) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R12 (if present) = H, halogen, C1-4 alkyl, or a fused ring such as (i) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R20 = C1-5 alkyl or a fused ring such as an (un) substituted, (un) saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-N(R20); R21=H, halogen, C1-4 alkyl; n = 0-1; when Z is CR21, the A ring is aromatic] from a heteroaryl  $\alpha$ -hydroxyacetamide (II) is provided. The process comprises directly hydrogenating the heteroaryl  $\alpha$ -hydroxyacetamide II in the presence of a strong acid, a halide and a catalyst wherein the molar ratio of the starting heteroaryl  $\alpha$ -hydroxyacetamide II to water at the initiation of hydrogenolysis is at least about 2:1. In one embodiment, the heteroaryl acetamide is zolpidem and the heteroaryl  $\alpha$ -hydroxyacetamide is  $\alpha$ -hydroxyzolpidem. Thus,  $\alpha$ -hydroxyzolpidem (1.35 kg), acetic acid (1.42 kg), 5% Pd-C (38.6 g), and NaBr solution (6.6 mL) were combined in a glass reactor and the

reactor was closed. Concentrated H2SO4 (0.625 kg) and acetic anhydride (0.31 kg) were added to the reactor with cooling to maintain the reaction temperature below 70° and then the reactor was purged with nitrogen and pressurized with hydrogen gas to 30 psig. The reaction mixture was heated at 80-85° while maintaining the hydrogen pressure at 30 psig until the hydrogen uptake stopped, and cooled to 20-30°, and filtered to remove the catalyst, followed by washing the filtered catalyst with 1 L water and the wash water was added to the filtrate to give, after adding 3 L water and 3.15 kg iso-Pr alc. and then ammonium hydroxide (approx. 4.15 kg), cooling for crystallization, filtration, and drying, 1 kg zolpidem.

## RX(1) OF 7 A ===> B

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

B YIELD 97%

Α

RX(1) RCT A 118026-14-5

RGT C 7664-93-9 H2SO4, D 7647-15-6 NaBr, E 1333-74-0 H2

PRO B 82626-48-0

CAT 7440-05-3D Pd

SOL 7732-18-5 Water, 64-19-7 AcOH

CON SUBSTAGE(1) room temperature, 25 psi

SUBSTAGE(2) room temperature -> 70 deg C, 25 psi -> 35 psi

SUBSTAGE(3) 6 hours, 70 deg C, 35 psi

NTE optimization study

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 2 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

141:123627 CASREACT

TITLE:

Improved process for the synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation

of α-hydroxyacetamides

INVENTOR(S):

Jarvi, Esa T.; Miller, Douglas C.

PATENT ASSIGNEE(S):

Mallinckrodt Inc., USA

PCT Int. Appl., 32 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE				APPLICATION NO.								
WO	2004	0587:	58		1	2004	0715		W	20	 03-U	5399!	51	2003	1216		
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw		
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤŻ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
														DE,			
•		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
CA	2509	561		A.	1.	2004	0715		Ċ	A 20	03-2	5095	61	2003	1216		
	2003																
EP	1575	952		A.	1	2005	0921		E	P 20	03-8	1401	0	2003	1216		
	R:	•	•		•					•		•	•	NL,	•		PT,
		ΙĖ,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
CN	1729	188		Α		2006	0201		CI	1 20	03-8	0106	954	2003	1216		
	2006												-	2003			
US	2006	0255	88	A.	1	2006	0202		U:	3 20	05-5	3760	4	2005	0603		
MΧ	2005	PA06	438	Α		2005	0908						-	2005			
IN	2005	CN01	264	Ά		2007	0622		Il	1 20	05-C	N126	4	2005	0615		
RIT	Y APP	LN.	INFO	. : .					U:	3 20	02-4	3576	3P	2002	1218		
	',							•		20	03-U	S399!	51	2003	1216		
ER S	OURCE	(S):			MAR	PAT	141:	12362	27							,	

#### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention is directed to an improved process for the preparation of heteroaryl acetamides I, in particular zolpidem (II), in one step, by hydrogenation of the corresponding α-hydroxyacetamides in the presence of a strong acid, a halide, and a Pd-based catalyst [wherein Z = O, NR20, CH and derivs.; X1, X2 = independently H, halo, alkoxy, alkyl, CF3, CH3SO2; R1, R2 = independently H, hydrocarbyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; W = (C)n; n = 0-1; when Z = CH and derivs., A is aromatic]. Thus, α-hydroxy-II was hydrogenated in the presence of a solution of H2SO4 in glacial AcOH, 1.4M NaBr in water, and 5% Pd/BaSO4 at 30-35 psi and 70° for 17 h to give zolpidem in 92 yield and 98.4% purity. Simillarly, α-hydroxy-II O-acetate gave II in 86% yield and 74.4% purity, which was recrystd. from i-PrOH.

YIELD 97%

RX (1) RCT A 118026-14-5

STAGE (1)

C 1333-74-0 H2, D 7647-15-6 NaBr, E 7664-93-9 H2SO4, F

64-19-7 AcOH

7440-05-3 Pd, 7727-43-7 BaSO4 CAT

7732-18-5 Water SOL

SUBSTAGE(1) room temperature CON

SUBSTAGE(2) room temperature

SUBSTAGE(3) room temperature -> 70 deg C, 25 psi

SUBSTAGE(4) 6 hours, 70 deg C, 35 psi

SUBSTAGE(5) 70 deg C -> 40 deg C

STAGE (2)

SOL 7732-18-5 Water

PRO B 82626-48-0

NTE optimization study, solid supported catalyst

ANSWER 3 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

140:94046 CASREACT

TITLE:

Process for the preparation imidazo[1,2-a]pyridine-3-

acetamides

INVENTOR(S):

Schloemer, George C.

PATENT ASSIGNEE(S):

Scinopharm Taiwan, Ltd., USA U.S. Pat. Appl. Publ., 4 pp.

SOURCE:

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

## PATENT INFORMATION:

PA'	PATENT NO.					DATE			APPLICATION NO.				٥.	DATE			
US	2004	0101	46	 A	1	2004	0115		US	3 20	03-6	20209	9	2003	0714	•	,
US	6861	525		B.	2	2005	0301										
WO	2004	0074	96	Α	1	2004	0122		WC	20	03–ช	S2208	32	20030714			
	W:	ΑU,	CN,	JP													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR						
AU	•				1	2004	0202		ΑU	J 20	03-2	49262	2	2003	0714		
EP	1539	751		A1 20050615					E	20	03-7	6467	7	2003	0714		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	SK					
CN	1668	617		Α		2005	0914		CI	1 20	03-8	16832	2	2003	0714		
JP	2005	5389	80	Т		2005	1222		JI	20	04-5	21845	5 .	2003	0714		
PRIORIT	PRIORITY APPLN. INFO.:								US	20	02-3	96278	3 P	2002	0715		
									WC	20	03-U	S2208	32	2003	0714		
OTHER S	OTHER SOURCE(S):						140:	9404	6								

GI

·AB Imidazo[1,2-a]pyridine-3-N,N-dialkylacetamides [I; R = C1-4 alkyl; X, Y1,  $\chi$  Y2 = H, C1-4 alkyl; e.g., 6-Methyl-N, N-dimethyl-2-(4methylphenyl)imidazo[1,2-a]pyridine-3-acetamide] are prepared by the reaction of imidazo[1,2-a]pyridines [II; e.g., 6-methyl-N,N-dimethyl-2-(4-methylphenyl)- $\alpha$ -hydroxyimidazo[1,2-a]pyridine-3-acetamide] with PBr3 in a non-reactive solvent (e.g., 1,2-dichloroethane) to give an intermediate which is subjected to hydrolysis.

II

...C ===> RX(3) OF 4

E YIELD 74%

RX(3) RCT C 118026-14-5

RGT F 7789-60-8 PBr3

PRO E 82626-48-0

SOL 107-06-2 C1CH2CH2Cl

CON SUBSTAGE(1) room temperature

7

SUBSTAGE(2) 2 hours, reflux

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

111:115178 CASREACT

TITLE:

Imidazopyridine derivatives useful as sedatives,

anxiolytics, and anticonvulsants, their preparation,

and medicaments and compositions containing them

INVENTOR(S):

George, Pascal; Allen, John; Jaurand, Guy

PATENT ASSIGNEE(S):

Synthelabo S. A., Fr. Fr. Demande, 13 pp.

SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE:

Patent

LANGUAGE:

Erench

FAMILY ACC. NUM. COUNT:

2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2612927	A1	19880930	FR 1987-4276	19870327
FR 2612927 EP 289371	B1 A1	19890609 19881102	EP 1988-400666	19880321
EP 289371	B1	19910925	EF 1900-400000	19000321
R: AT, BE,	CH, DE	, ES, FR, GB,	GR, IT, LI, LU, NL	, SE
ΔT 67765	T	19911015	· AT 1988-400666	19880321

ES 2026666	Т3	19920501	ES	1988-400666	19880321
IL 85840	Α	19920329	IL	1988-85840	19880323
DK 8801673	Α	19880928	DK	1988-1673	19880325
FI 8801434	Α	19880928	FΙ	1988-1434	19880325
NO 8801333	Α	19880928	NO	1988-1333	19880325
AU 8813736	Α	19880929	ΑU	1988-13736	19880325
AU 597809	B2	19900607		,	
JP 63258475	Α	19881025	JP	1988-73036	19880325
JP 2733492	B2	19980330			
ни 46692	A2	19881128	HU	1988-1526	19880325
HU 198048	В	19890728			
ZA 8802163	Α	19881130	ZΑ	1988-2163	19880325
CA 1324139	C	19931109	CA	1988-562556	19880325
US 4847263	Α	19890711	US	1988-173813	19880328
PRIORITY APPLN. INFO.:			FR	1987-4276	19870327
			FR	1987-4277	19870327
			ΕP	1988-400666	19880321

OTHER SOURCE(S):

MARPAT 111:115178

GΙ

AB Imidazopyridine I [Y1 = H, halo, C1-4 alkyl; Y2 = SR where R = H, C1-4 alkyl; X = H, halo, C1-4 alkyl or alkoxy, CF3, MeS, NO2, NH2; R1, R2 = H, alkyl (un)substituted by halo, hydroxy, or alkoxy; or NR1R2 = C3-6 heterocyclyl; or R1R2 = (CH2)2X(CH2)2 where X = O, S, NR3; R3 = H, C1-4 alkyl, Ph] are prepared as sedatives, anxiolytics, and anticonvulsants. Bromination of 2-amino-5-chloropyridine with Br in CH2C12 gave the 3-bromo compds., which underwent cyclocondensation with 4-C1C6H4COCH2Br in EtOH containing NaHCO3 to give 8-bromo-6-chloro-2-(4-chlorophenyl)imidazo[1,2-a]pyridine. Treatment of the latter with (EtO)2CHCONPr2 in AcOH containing HCl gave the 3-CH(OH)CONPr2 derivative, which reacted 1st with SOC12 and then with Rongalite to give the 3-CH2CONPr2 derivative Displacement of Br by MeSNa in THF/DMF gave chloro(chlorophenyl)methylthiodipropylimidazopyridineaceta mide II. The ED50 of I for protection of mice from pentetrazole-induced (i.v., 35 mg/kg) clonic convulsions was 0.1-10 mg/kg, i.p.

RX(4) OF 15 ...G ===> H...

$$\stackrel{(4)}{\longrightarrow}$$

Н

G

RX (4) RCT G 122328-23-8 PRO H 122341-79-1

ANSWER 5 OF 5 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 109:149531 CASREACT

Preparation of imidazopyridineacetamides as sedatives TITLE:

and hypnotics and as anticonvulsants

INVENTOR(S): George, Pascal; Allen, John

Synthelabo S. A., Fr. PATENT ASSIGNEE(S):

Eur. Pat. Appl., 12 pp. SOURCE: ·

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND D	ATE	APPLICATION NO.	DATE
EP 267111	A1 1	9880511	EP 1987-402463	19871102
R: AT, BE,	CH, DE,	ES, FR, GB, G	GR, IT, LI, LU, NL	, SE
FR 2606410	A1 1	9880513	FR 1986-15533	19861107
FR 2606410	B1 1	9890224		
US 4808594	A 1	9890228	US 1987-116217	19871103
JP 63135382	A 1	9880607	JP 1987-281925	19871106
PRIORITY APPLN. INFO.	. :		FR 1986-15533	19861107
OTHER SOURCE(S):	MARP	AT 109:149531	· -	

$$Y$$
 $N$ 
 $R^3$ 
 $X$ 
 $I$ 

The title compds. (I; R3 = CH2CONR1R2; R1, R2 = C1-3 alkyl; X = Me and Y = CH2OR or X = CH2OR and Y = Me; R = C1-6 alkyl) were prepared I (R3 = H, X = Me, Y = CO2Et) was stirred 0.5 h at 0° with LiAlH4 in THF and the product stirred 40 min with NaH and MeI in THF-DMF to give I (R3 = H, X = Me, Y = CH2OMe) which was stirred 2 h at 50° with Me2NCOCHO in HOAc containing NaOAc to give I [R3 = CH(OH)CONMe2, X = Me, Y = CH2OMe]. The latter was stirred 20 h with SOCl2 in CH2Cl2 and the product stirred 3 h with HOCH2SO2Na in CH2Cl2 to give I (R3 = CH2CONMe2, X = Me, Y = CH2OMe). I protect 50% of mice given pentetrazol i.v. from convulsions at 0.1-10 mg/kg i.p.

$$RX(4)$$
 OF 7 ...H ===> I

$$Me_2N$$
 $Me_2N$ 
 $N$ 
 $N$ 
 $N$ 

Ι

## => d his

(FILE 'HOME' ENTERED AT 07:26:50 ON 15 OCT 2007)

FILE 'CASREACT' ENTERED AT 07:26:58 ON 15 OCT 2007

STRUCTURE UPLOADED
5 S L1 FULL L1

L2

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COST IN U.S. DOLLARS SINCE FILE

ENTRY SESSION FULL ESTIMATED COST 139.05 139.26

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION CA SUBSCRIBER PRICE -3.65 -3.65

STN INTERNATIONAL LOGOFF AT 07:28:46 ON 15 OCT 2007

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                 Web Page for STN Seminar Schedule - N. America
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                 SCISEARCH enhanced with complete author names
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         JUL 02
         JUL 02
                 CHEMCATS accession numbers revised
NEWS
NEWS
     5 JUL 02 CA/CAplus enhanced with utility model patents from China
        JUL 16 CAplus enhanced with French and German abstracts
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         JUL 18
                 CA/CAplus patent coverage enhanced
NEWS
         JUL 26
                 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 8
         JUL 30
                 USGENE now available on STN
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                 CAS REGISTRY enhanced with new experimental property tags
NEWS 10
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NEWS 11
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NEWS 12
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NEWS 14
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NEWS 15
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NEWS 16
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NEWS 17
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NEWS 18
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NEWS 19
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NEWS 20
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NEWS 21
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NEWS 24
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NEWS EXPRESS
              19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0jc(jp),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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ring nodes :
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chain bonds :
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ring bonds :
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14-15
exact/norm bonds :
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17-18 17-19
normalized bonds :
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isolated ring systems :
containing 1 : 10 :
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Match level:

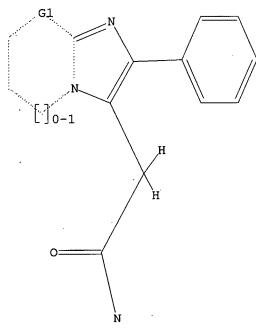
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 24:CLASS 25:CLASS

#### L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 07:31:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1166 TO ITERATE

100.0% PROCESSED 1166 ITERATIONS

560 ANSWERS

SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 172.10 172.31

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=> s 12/prep full 1058 L2

4474849 PREP/RL

L363 L2/PREP

(L2 (L) PREP/RL)

=> s 13 and py<2002

21918031 PY<2002

37 L3 AND PY<2002 L4 ·

=> s'13 and acid?

5183224 ACID?

L5 31 L3 AND ACID?

=> s 15 and catalyst? 995473 CATALYST?

4 L5 AND CATALYST? L6

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ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2007:401359 CAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

146:358850

TITLE:

A method for preparing zolpidem and its intermediates Stivanello, Mariano; De Lucchi, Ottorino; Grendele,

Ennio; Sperandio, Davide

PATENT ASSIGNEE(S):

F.I.S. Fabbrica Italiana Sintetici S.p.A., Italy Ital. Appl., 22pp.

SOURCE:

CODEN: ITXXCZ

DOCUMENT TYPE:

Patent

LANGUAGE:

Italian.

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	AE	PPLICATION NO.	DATE
IT 2002MI0574	A1	20030919	ΓI	2002-MI574	20020319
PRIORITY APPLN. INFO.:			ΓI	2002-MI574	20020319
OTHER SOURCE(S):	CASRE	ACT 146:3588	50		

GΙ

AB The invention relates to the preparation of zolpidem (I). Compound I was prepared

by aluminum-catalyzed Friedel-Crafts reaction of succinic anhydride with toluene; the resulting 4-(4-methylphenyl)-4-oxobutanoic acid underwent amidation with dimethylamine to give N,N-di-Me

4-(4-methylphenyl)-4-oxobutanamide, which underwent bromination to give N,N-di-Me 3-bromo-4-(4-methylphenyl)-4-oxobutanamide, which underwent cyclization with 2-amino-5-picoline to give compound I.

IT 82626-48-0P, Zolpidem

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of zolpidem and their intermediates)

Ι

RN 82626-48-0 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Me} & & \\ & & & \\ \text{CH}_2-\text{C-NMe}_2 \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:332162 CAPLUS

DOCUMENT NUMBER:

144:331433

TITLE:

Synthesis of heteroaryl acetamides from reaction

mixtures of heteroaryl  $\alpha$ -hydroxyacetamides

having reduced water content

INVENTOR(S):

Jarvi, Esa T.; Miller, Douglas C.; Moser, Frank W.;

Halvachs, Robert E.

PATENT ASSIGNEE(S):

Mallinckrodt Inc., USA PCT Int. Appl., 44 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	.KP,	KR,	ΚŻ,	
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                                                                     20061222
                                             US 2004-581967P
PRIORITY APPLN. INFO.:
                                                                  Р
                                                                     20040622
                                             WO 2005-US19810
                                                                  W
                                                                     20050603
                         CASREACT 144:331433; MARPAT 144:331433
OTHER SOURCE(S):
GΙ
```

AΒ An improved process for the preparation of a heteroaryl acetamide (I) [Z = 0,NR20 or CR21; X1, X2 = H, halogen, C1-4 alkoxy, C1-6 alkyl, CF3, MeSO2; R1, R2 = H, hydrocarbyl; R10 = H, halogen, C1-4 alkyl, a fused ring such as (i) a (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-NR20 or (ii) a (un) substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11); R11 = H, halogen, C1-4 alkyl, or a fused ring such as (i) a (un) substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11) or (ii) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R12 (if present) = H, halogen, C1-4 alkyl, or a fused ring such as (i) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R20 = C1-5 alkyl or a fused ring such as an (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-N(R20); R21 = H, halogen, C1-4 alkyl; n = 0-1; when Z is CR21, the A ring is aromatic] from a

heteroaryl  $\alpha$ -hydroxyacetamide (II) is provided. The process comprises directly hydrogenating the heteroaryl  $\alpha$ -hydroxyacetamide II in the presence of a strong acid, a halide and a catalyst wherein the molar ratio of the starting heteroaryl  $\alpha$ -hydroxyacetamide II to water at the initiation of hydrogenolysis is at least about 2:1. In one embodiment, the heteroaryl acetamide is zolpidem and the heteroaryl  $\alpha$ -hydroxyacetamide is  $\alpha$ -hydroxyzolpidem. Thus,  $\alpha$ -hydroxyzolpidem (1.35 kg), acetic acid (1.42 kg), 5% Pd-C (38.6 g), and NaBr solution (6.6 mL) were combined in a glass reactor and the reactor was closed. Concentrated H2SO4 (0.625 kg) and acetic anhydride (0.31 kg) were added to the reactor with cooling to maintain the reaction temperature below 70° and then the reactor was purged with nitrogen and pressurized with hydrogen gas to 30 psig. The reaction mixture was heated at 80-85° while maintaining the hydrogen pressure at 30 psig until the hydrogen uptake stopped, and cooled to 20-30°, and filtered to remove the catalyst, followed by washing the filtered catalyst with 1 L water and the wash water was added to the filtrate to give, after adding 3 L water and 3.15 kg iso-Pr alc. and then ammonium hydroxide (approx. 4.15 kg), cooling for crystallization, filtration, and drying, 1 kg zolpidem.

IT :

CN

82626-48-0P, Zolpidem

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of N-heteroarylacetamides by hydrogenolysis of N-heteroaryl- $\alpha$ -acetamides from reaction mixts. having reduced water content)

RN 82626-48-0 CAPLUS

Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:566605 CAPLUS

DOCUMENT NUMBER:

141:123627

TITLE:

Improved process for the synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation

of  $\alpha$ -hydroxyacetamides

INVENTOR(S):

Jarvi, Esa T.; Miller, Douglas C.

PATENT ASSIGNEE(S):

Mallinckrodt Inc., USA

SOURCE:

PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004058758	A1	20040715	WO 2003-US39951	20031216
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PRIORITY APPLN. INFO.:
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                                                                        20021218
                                               WO 2003-US39951
                                                                     W
                                                                        20031216
                           CASREACT 141:123627; MARPAT 141:123627
OTHER SOURCE(S):
GΙ
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The invention is directed to an improved process for the preparation of heteroaryl acetamides I, in particular zolpidem (II), in one step, by hydrogenation of the corresponding α-hydroxyacetamides in the presence of a strong acid, a halide, and a Pd-based catalyst [wherein Z = O, NR20, CH and derivs.; X1, X2 = independently H, halo, alkoxy, alkyl, CF3, CH3SO2; R1, R2 = independently H, hydrocarbyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; W = (C)n; n = 0-1; when Z = CH and derivs., A is aromatic]. Thus, α-hydroxy-II was hydrogenated in the presence of a solution of H2SO4 in glacial AcOH, 1.4M NaBr in water, and 5% Pd/BaSO4 at 30-35 psi and 70° for 17 h to give zolpidem in 92 yield and 98.4% purity. Simillarly, α-hydroxy-II O-acetate gave II in 86% yield and 74.4% purity, which was recrystd. from i-PrOH.

82626-48-0P, Zolpidem
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(heteroaryl acetamide product; synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation of  $\alpha$ -hydroxyacetamides in the presence of a strong acid, a halide and Pd-based catalyst)

RN 82626-48-0 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Me} & & & \\ & & & \\ \text{CH}_2-\text{C-NMe}_2 \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:865526 CAPLUS DOCUMENT NUMBER:

137:370088

TITLE: .

Cyclocondensation process for the production of

2-phenylimidazo[1,2-a]pyridines

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany Ger. Offen., 6 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

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· WO	2002090356				A1 20021114 A1 20021205 A1 20021114 A2 20021114 A3 20031224 AM, AT, AU, AZ,			1	ÚS 2 CA 2	2002-	1338 2445	30 766	•	20020426			
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EP	2002 1395 1395	GN, 3140: 586	GQ, 26	GW,	ML,	MR,	NE, 2002	SN, 1118 0310	TD,	TG AU 2	BF, 2002- 2002-	3140:	26		2		502
JP AT ES US US US US US	R: 2004 3538 2280 6562 2003 6583 2003 6664 2004 6958 2003	AT, IE, 5283 96 550 975 1097 285 1953 421 0877 417 PA10	BE, SI, 80 07 75 94	LT,	DE, LV, T T T3 B1 A1 B2 A1 B2 A1 B2	DK, FI,	ES, RO,	FR, MK, 0916 0315 0916 0513 0612 0624 1016 1216 0506 1025	CY,	AL, JP 2 AT 2 ES 2 US 2 US 2 US 2 US 2 US 2 US 2	IT, TR 2002- 2002- 2002- 2002- 2003- 2003- 2003- 2001- 2001- 2002-	5874 7405 27405 3192 3189 4464 6893 PA10 1012 2907	35 51 551 76 00 34 07 034 1638 47P		2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2	0020 0020 0020 0021 0021 0030 0031 0010 0010	502 502 502 213 213 527 020 031 503 514
									1	WO 2	2002-1 2002-1	EP47	96	Ţ	₩ 2	0020	502

CASREACT 137:370088; MARPAT 137:370088

OTHER SOURCE(S):

2-Phenylimidazo[1,2-a]pyridines (I; R1-R4 = H, C1-6 alkyl), useful as pharmaceutical intermediates, are prepared in high yield and selectivity by the cyclocondensation of 4-phenyl-4-oxobutyramides (II; R5 = Cl, Br, I, O2CCH3, tosylate, mesylate) with 2-aminopyridines (III) in the presence of a catalyst. Thus, 3-(4-methylbenzoyl)propanoic acid dimethylamide was dissolved in AcOH brominated with bromine into 3-bromo-3-(4-methylbenzoyl)propanoic acid dimethylamide and subjected to cyclocondensation with 4-aminopicoline into N,N-6-trimethyl-2-(4-methylphenyl)imidazo[1,2-a]pyridine-3-acetamide in 45.7% yield.

IT 82626-48-0P 99294-93-6P

RL: PNU (Preparation, unclassified); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (cyclocondensation process for the production of 2-phenylimidazo[1,2-a]pyridines)

RN 82626-48-0 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Me} & & \\ & & & \\ \text{CH}_2-\text{C-NMe}_2 \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 99294-93-6 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetamide, N,N,6-trimethyl-2-(4-methylphenyl)-,

CM 1

CRN 82626-48-0 CMF C19 H21 N3 O

$$\begin{array}{c|c} N & \\ Me & \\ CH_2-C-NMe_2 \\ \parallel & \\ O & \end{array}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 07:30:31 ON 15 OCT 2007)

FILE 'REGISTRY' ENTERED AT 07:30:39 ON 15 OCT 2007

L1 STRUCTURE UPLOADED

L2 , 560 S L1 FULL

FILE 'CAPLUS' ENTERED AT 07:31:27 ON 15 OCT 2007

L3 63 S L2/PREP FULL

L4 37 S L3 AND PY<2002

L5 31 S L3 AND ACID?

L6 4 S L5 AND CATALYST?

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FULL ESTIMATED COST 31.00 203.31

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